

REMARKS

Claims 1, 2, 14-20 and 22-28 stand rejected, while claims 3 - 13 and 21 are objected to as being dependent upon rejected claims 1 and 2.

A Notice of Appeal was filed in this application on July 3, 2001, establishing an original deadline of two months to file an Appeal Brief. On November 21, 2001, in connection with the filing of a continuation application to pursue the subject matter of claims 1, 2, 14-20 and 22-28 which are canceled hereinabove, applicants submitted a Petition for Extension of Time of Three Months, extending the original deadline of September 3, 2001 to December 3, 2001. Accordingly, this Request for Continued Examination and submission (Amendment and IDS) under 37 C.F.R. 1.114(a) are timely filed.

By this Amendment, applicants have:

- (1) Canceled all of the rejected claims (1, 2, 14-20 and 22-28) without prejudice to applicants' right to pursue the subject matter of the canceled claims in one or more continuation or divisional applications and
- (2) Re-written claim 3 in independent form, i.e., by incorporating the limitations of canceled claims 1 and 2 from which it depends, and have amended claims 6, 8, 10, 12 and 21 to depend on claim 3 instead of canceled claim 2.

Applicants submit that no new matter is raised by the amendment of claim 3, which merely incorporates the limitations of claims 1 and 2 from which it depends, or the amendment of claims 6, 8, 10, 12 and 21, which merely change the dependence of the claims to depend on claim 3 instead of canceled claim 2 (or, for claim 21, canceled claim 1). A marked-up version of the amended claims showing the changes made is attached hereto under the heading "**Please DO NOT ENTER - Claims marked to show changes made.**" Thus, upon entry of this amendment claims 3-13 and 21 will be pending.

Because this amendment renders moot the objection to claims 3-13 and 21, applicants respectfully request that the Examiner allow the now-independent claim 3, and claims 4-13 and 21 dependent thereon.

Patent Application
Attorney Docket No. PC9576A

Information Disclosure Statement

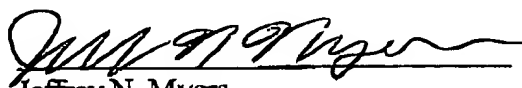
Applicants would like to bring to the attention of the Examiner applicants' co-pending patent application Serial No. 09/424,211, which is a 371 of PCT/IB98/00799, filed in the International Bureau on May 25, 1998, which has been allowed.

If any issues are believed to be outstanding, the Examiner is invited to telephone applicants' undersigned attorney at the number below.

Respectfully submitted,

Date:

November 28, 2001



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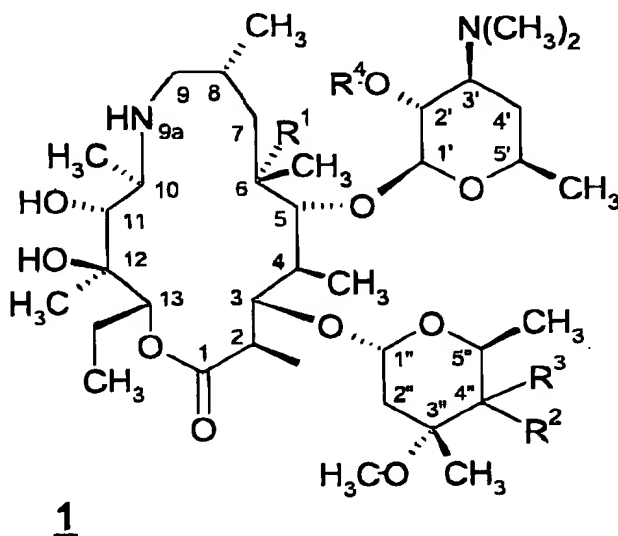
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Please DO NOT ENTER – Claims marked to show changes made.

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3. (Amended) ~~The~~A compound of claim ~~2~~ the formula



or a pharmaceutically acceptable salt thereof, wherein R^1 is hydroxy, R^2 is hydroxy, R^3 is $-CH_2NR^8R^{15}$ or $-CH_2SR^8$;

R^4 is H, acetyl or benzyloxycarbonyl;

R^5 is $-SR^8$, $-(CH_2)_nC(O)R^8$ wherein n is 0 or 1, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, $-(CH_2)_m(C_6-C_{10} aryl)$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^5 groups are optionally substituted by 1 to 3 R^{16} groups;

each R^6 and R^7 is independently H, hydroxy, C_1-C_6 alkoxy, C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, $-(CH_2)_m(C_6-C_{10} aryl)$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4;

each R^8 is independently H, C_1-C_{10} alkyl, C_2-C_{10} alkenyl, C_2-C_{10} alkynyl, $-(CH_2)_qCR^{11}R^{12}(CH_2)_rNR^{13}R^{14}$ wherein q and r are each independently an integer ranging from 0 to 3 except q and r are not both 0, $-(CH_2)_m(C_6-C_{10} aryl)$, or $-(CH_2)_m(5-10 \text{ membered heteroaryl})$, wherein m is an integer ranging from 0 to 4, and wherein the foregoing R^8 groups,

except H, are optionally substituted by 1 to 3 R¹⁶ groups;

or where R⁸ is as -CH₂NR⁸ R¹⁵, R¹⁵ and R⁸ may be taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from the group consisting of O, S and -N(R⁸)-, in addition to the nitrogen to which R¹⁵ and R⁸ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R¹⁶ groups;

each R⁹ and R¹⁰ is independently H or C₁-C₆ alkyl;

each R¹¹, R¹², R¹³ and R¹⁴ is independently selected from the group consisting of H, C₁-C₁₀ alkyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein the foregoing R¹¹, R¹², R¹³ and R¹⁴ groups, except H, are optionally substituted by 1 to 3 R¹⁶ groups;

or R¹¹ and R¹³ are taken together to form -(CH₂)_p- wherein p is an integer ranging from 0 to 3 such that a 4-7 membered saturated ring is formed that optionally includes 1 or 2 carbon-carbon double or triple bonds;

or R¹³ and R¹⁴ are taken together to form a 4-10 membered monocyclic or polycyclic saturated ring or a 5-10 membered heteroaryl ring, wherein said saturated and heteroaryl rings optionally include 1 or 2 heteroatoms selected from the group consisting of O, S and -N(R⁸)-, in addition to the nitrogen to which R¹³ and R¹⁴ are attached, said saturated ring optionally includes 1 or 2 carbon-carbon double or triple bonds, and said saturated and heteroaryl rings are optionally substituted by 1 to 3 R¹⁶ groups;

R¹⁵ is H, C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl, or C₂-C₁₀ alkynyl, wherein the foregoing R¹⁵ groups are optionally substituted by 1 to 3 substituents independently selected from the group consisting of halo and -OR⁹;

each R¹⁶ is independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, C₁-C₆ alkoxy, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl), wherein m is an integer ranging from 0 to 4, and wherein said aryl and heteroaryl substituents are optionally substituted by 1 or 2 substituents independently selected from the group consisting of halo, cyano, nitro, trifluoromethyl, azido, -C(O)R¹⁷, -C(O)OR¹⁷, -OC(O)OR¹⁷, -NR⁶C(O)R⁷, -C(O)NR⁶R⁷, -NR⁶R⁷, hydroxy, C₁-C₆ alkyl, and C₁-C₆ alkoxy;

each R¹⁷ is independently selected from the group consisting of H, C₁-C₁₀ alkyl, C₂-

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C₁₀ alkenyl, C₂-C₁₀ alkynyl, -(CH₂)_m(C₆-C₁₀ aryl), and -(CH₂)_m(5-10 membered heteroaryl),
wherein m is an integer ranging from 0 to 4;

with the proviso that R⁸ is not H where R³ is -CH₂SR⁸.

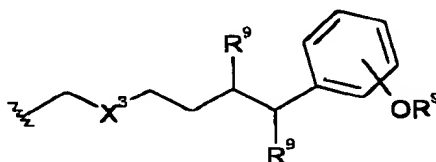
6. (Amended) The compound of claim 23 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NHR⁸ and R⁸ is -(CH₂)_m(C₆-C₁₀ aryl) wherein m is an integer ranging from 0 to 4.

8. (Amended) The compound of claim 23 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NR¹⁵R⁸ and R¹⁵ and R⁸ are taken together to form a 4-10 membered saturated ring.

10. (Amended) The compound of claim 23 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂NR¹⁵R⁸ and R¹⁵ and R⁸ are taken together to form a 5-10 membered heteroaryl ring optionally substitute by 1 or 2 C₁-C₆ alkyl groups.

12. (Twice Amended) The compound of claim 23 wherein R¹ is hydroxy, R² is hydroxy, R³ is -CH₂SR⁸, and R⁸ is selected from the group consisting of C₁-C₁₀ alkyl, C₂-C₁₀ alkenyl and C₂-C₁₀ alkynyl, wherein said R⁸ groups are optionally substituted by 1 or 2 substituents independently selected from hydroxy, halo and C₁-C₆ alkoxy.

21. (Twice amended) The compound of claim 3, 4 wherein R⁴ is H, acetyl or benzyloxycarbonyl, wherein R³ is selected from the following:



wherein X³ is O, S or -N(R¹⁵), R⁹ and R¹⁵ are as defined in claim 13, and the -OR⁹ group may be attached at any available carbon on the phenyl group.